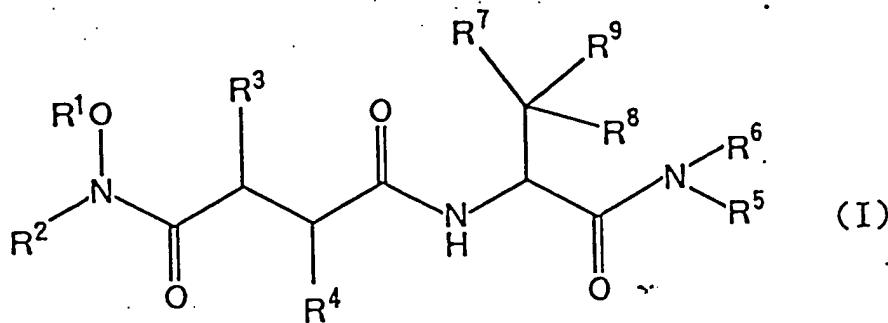


16. (Amended) A compound having the following formula (I):



wherein R^1 , R^2 , R^6 , R^7 and R^8 are each hydrogen,

1) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$ or $-B$,

wherein X , Y , A and B are selected from the following combinations:

① X is (C_1-C_6) alkylene, Y is $-A-B$, A is imino and B is amidino;

② X is (C_1-C_6) alkylene, Y is $-B$ and B is amino;

③ X is phenylene, Y is $-A-B$, A is lower (C_1-C_4) alkylene-imino and B is lower (C_1-C_4) acylimidoyl;

④ X is (C_1-C_6) alkylene, Y is $-A-B$, A is imino and B is selected from the group consisting of lower (C_1-C_4) acylimidoyl and benzimidoyl;

⑤ X is phenylene, Y is $-A-B$, A is lower (C_1-C_4) alkyl and B is amino; and

⑥ X is phenylene, Y is $-A-B$, A is imino and B is selected from the group consisting of tetra-lower (C_1-C_4) alkyl bis(phosphono)methyl and tri-lower (C_1-C_4) alkyl

bis(phosphono)methyl;

2) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is hydroxy-substituted (C_1-C_6) alkyl or a nitrogen-containing heterocyclic radical,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is lower (C_1-C_4) acylimidoyl;

3) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

① R^5 is (C_3-C_7) cycloalkyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is (C_1-C_6) alkylene and

B is amino; or

② R^5 is a nitrogen-containing heterocyclic radical,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is phenylene,

A is lower (C_1-C_4) alkylene-imino and

B is lower (C_1-C_4) acylimidoyl;

4) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is carboxy-substituted lower (C_1-C_4) alkyl, di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl or hydroxy-substituted (C_1-C_6) alkyl, and

R^9 is $-X-Y$,

wherein X is phenylene and

Y is $-A-B$,
wherein A and B are selected from the following
combinations:

- ① A is lower (C_1-C_4) alkylene-imino and
B is lower (C_1-C_4) acylimidoyl; and
- ② A is lower (C_1-C_4) alkylene and
B is amino;

5) R^3 is (C_1-C_9) alkyl,
 R^4 is (C_3-C_9) alkyl,
① when R^5 is hydroxy-substituted (C_1-C_6) alkyl,

R^9 is $-X-Y$,
wherein X is phenylene and

Y is $-A-B$,

wherein

A is lower (C_1-C_4) alkylene-imino and
B is lower (C_1-C_4) acylimidoyl; or

② when R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$,
wherein X is (C_1-C_6) alkylene and

Y is $-A-B$,

wherein A is imino and

B is amidino;

6) R^3 is phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

① R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is phenylene and

A is lower (C_1-C_4) alkylene and

B is amino; or

② R^5 is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl, hydroxy-substituted (C_1-C_6) alkyl or lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is (C_1-C_6) alkylene and
 A is imino and
 B is lower (C_1-C_4) acylimidoyl;

7) R^3 is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, carboxy-substituted phenyl-lower (C_1-C_4) alkyl, amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,
hydroxy-substituted phenyl-lower (C_1-C_4) alkyl,
lower (C_1-C_4) alkoxy carbonyl-substituted phenyl-lower (C_1-C_4) alkyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl, or hydroxy-substituted (C_1-C_8) alkyl;

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is (C_1-C_6) alkylene, and
 B is amino;

8) ① R^3 is (C_1-C_9) alkyl, and

R^4 is hydroxy-substituted (C_3-C_8) alkyl, or

② R^3 is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, and

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is (C_1-C_6) alkylene and
 B is amino;

9) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) acylimidoylimino-substituted (C_1-C_6) alkyl, lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, or isopropyliminomethylbenzyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is hydrogen;

10) R^3 is aryloxy-substituted lower (C_1-C_4) alkyl, (C_3-C_7) cycloalkyl-substituted lower (C_1-C_4) alkyl, arylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, alkylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is (C_1-C_6) alkylene,
 A is imino and
 B is amidino;

11) R^3 is phenyl-lower (C_1-C_4) alkyl,

R^5 is lower (C_1-C_4) alkyl,

(i) when R^4 is (C_3-C_9) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is amidino;

② when R^4 is unsubstituted or optionally substituted aryl-lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is amidino; or

③ when R^4 is (C_3-C_9) alkyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene, and

B is amino;

12) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene, and

B is amino;

13) R^3 is amino-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene, and

A is imino and

B is lower (C_1-C_4) acylimidoyl;

14) R^3 is guanidino-substituted phenyl-lower (C_1-C_4) alkyl, guanidino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-B$,
wherein X is (C_1-C_6) alkylene, and
 B is amino; or

15) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is phenylene,
 A is lower (C_1-C_4) alkylene, and
 B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

17. (Amended) The compound according to claim 16 wherein

R^1 , R^2 , R^6 , R^7 and R^8 are each hydrogen,

1) R^3 is methyl,

R^4 is isobutyl,

R^5 is methyl,

R^9 is $-X-Y$ and Y is $-A-B$ or $-B$

wherein X , Y , A and B are selected from the following combinations:

① X is methylene or ethylene, Y is $-A-B$, A is imino and B is amidino;

② X is ethylene or trimethylene, Y is $-B$ and B is amino;

③ X is phenylene, Y is $-A-B$, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is $-A-B$, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;

⑤ X is phenylene, Y is $-A-B$, A is methylene and B is amino; and

⑥ X is phenylene, Y is $-A-B$, A is imino and B is selected from the group consisting of tetra-ethyl bis(phosphono)methyl, tetra-methyl bis(phosphono)methyl, tri-ethyl bis(phosphono)methyl and tri-methyl bis(phosphono)methyl;

2) R^3 is methyl,

R^4 is isobutyl,

R^5 is 2-hydroxy-1-methylethyl or piperidyl,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is trimethylene,

A is imino and

B is acetimidoyl;

C
CDD4

3) R^3 is methyl,
 R^4 is isobutyl,
① R^5 is cyclopropyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is ethylene and
 B is amino;

② R^5 is morpholino,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is phenylene,
 A is methyleneimino and
 B is acetimidoyl;

4) R^3 and R^4 are each isobutyl,
 R^5 is 2-carboxyethyl, 2-dimethylaminoethyl or
2-hydroxyethyl,
 R^9 is $-X-Y$,
wherein X is phenylene and
 Y is $-A-B$,
wherein A and B are selected from the following
combinations:
① A is methyleneimino and
 B is acetimidoyl; and
② A is methylene and
 B is amino;

5) R^3 and R^4 are each isobutyl,
① when R^5 is 2-hydroxy-1,1-dimethylethyl,
 R^9 is $-X-Y$,
wherein X is phenylene and
 Y is $-A-B$,
wherein A is methyleneimino and
 B is acetimidoyl;

② when R^5 is methyl,
 R^9 is $-X-Y$,
wherein X is methylene or ethylene and
Y is $-A-B$,
wherein A is imino and
B is amidino;

6) R^3 is phenylpropyl,
 R^4 is isobutyl,
① R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is phenylene and
A is methylene and
B is amino; or

② R^5 is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

7) R^3 is morpholinopropyl, carboxyphenylpropyl,
aminomethylphenylpropyl, hydroxyphenylpropyl,
methoxycarbonylphenylpropyl, piperidinylpropyl,
iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or
hydroxyoctyl,
 R^4 is isobutyl,
 R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is trimethylene and
B is amino;

C
CON4

8) ① R^3 is isobutyl, and
 R^4 is hydroxyoctyl, or
② R^3 is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-
propyl, and
 R^4 is isopropyl,
 R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is trimethylene and
 B is amino;

9) R^3 is aminomethylphenylpropyl, aminomethylbenzyl,
acetimidoyliminopentyl, isopropyliminopentyl,
(pyridin-4-ylmethylimino)pentyl or
isopropyliminomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is hydrogen;

10) R^3 is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-
methylbenzyl, methanesulfonamidomethylbenzyl or
phthalimidomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is ethylene,
 A is imino and
 B is amidino;

11) R^3 is phenylpropyl,
 R^5 is methyl,
① when R^4 is isobutyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is methylene,
 A is imino and
 B is amidino;

② when R^4 is naphthylmethyl,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is ethylene,

A is imino and

B is amidino; or

③ when R^4 is isopropyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is trimethylene, and

B is amino;

C) 12) R^3 is aminomethylphenylpropyl,

① R^4 is isobutyl,

R^5 is methyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is methylene or ethylene, and

B is amino;

② R^4 is isopropyl,

R^5 is methyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is ethylene, and

B is amino;

13) R^3 is aminophenylpropyl,

R^4 is isobutyl,

R^5 is dimethylaminoethyl,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is trimethylene, and

A is imino and

B is acetimidoyl;

14) R^3 is guanidinophenylpropyl, guanidinomethylphenylpropyl or aminomethylbenzyl,

R^4 is isobutyl,

R^5 is methyl, and

R^9 is $-X-Y$, and Y is $-B$,

wherein X is ethylene, and

B is amino; or

15) R^3 is aminomethylbenzyl,

R^4 is isobutyl,

R^5 is methyl, and

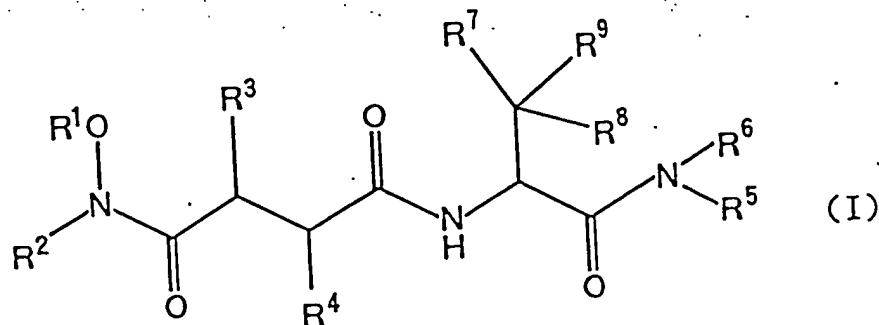
R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is phenylene,

A is methylene, and

B is amino.

18. (Amended) A compound having the following formula (I):



wherein R^1 , R^2 , R^6 , R^7 and R^8 are each hydrogen,

1) R^3 is methyl,

R^4 is isobutyl,

R^5 is methyl,

R^9 is $-X-Y$, and Y is $-A-B$ or $-B$,

wherein X , Y , A and B are selected from the following combinations:

① X is (C_1-C_6) alkylene, Y is $-A-B$, A is imino and B is amidino;

② X is (C_1-C_6) alkylene, Y is $-B$ and B is amino;

③ X is phenylene, Y is $-A-B$, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is $-A-B$, A is imino and B is selected from the group consisting of lower (C_1-C_4) acyl-imidoyl and benzimidoyl;

⑤ X is phenylene, Y is $-A-B$, A is methylene and B is amino; and

⑥ X is phenylene, Y is $-A-B$, A is imino and B is selected from the group consisting of tetra-lower (C_1-C_4) alkyl bis(phosphono)methyl and tri-lower (C_1-C_4) alkyl bis(phosphono)methyl;

2) R^3 is methyl,
 R^4 is isobutyl,
 R^5 is 2-hydroxy-1-methylethyl or piperidyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is trimethylene,
 A is imino and
 B is acetimidoyl;

3) R^3 is methyl,
 R^4 is isobutyl,
① R^5 is cyclopropyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is ethylene and
 B is amino;

C1
CDU4, ② R^5 is morpholino,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is phenylene,
 A is methyleneimino and
 B is acetimidoyl;

4) R^3 and R^4 are each isobutyl,
 R^5 is 2-carboxyethyl, 2-dimethylaminoethyl or
2-hydroxyethyl,
 R^9 is $-X-Y$,
wherein X is phenylene and
 Y is $-A-B$,
wherein A and B are selected from the following
combinations:
① A is methyleneimino and
 B is acetimidoyl; and
② A is methylene and
 B is amino;

R^3 and R^4 are each isobutyl,
① when R^5 is 2-hydroxy-1,1-dimethylethyl,
 R^9 is $-X-Y$,
wherein X is phenylene and
Y is $-A-B$,
wherein A is methyleneimino and
B is acetimidoyl;

② when R^5 is methyl,
 R^9 is $-X-Y$,
wherein X is (C_1-C_6) alkylene and
Y is $-A-B$,
wherein A is imino and
B is amidino;

C) 6) R^3 is phenylpropyl,

R^4 is isobutyl,

① R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is phenylene and
A is methylene and
B is amino; or

② R^5 is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

7) R^3 is nitrogen-containing heterocyclic radical-substituted propyl, carboxyphenylpropyl, aminomethylphenylpropyl, hydroxyphenylpropyl, methoxycarbonylphenylpropyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl or hydroxyoctyl,

R^4 is isobutyl,

R^5 is methyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is trimethylene and
B is amino;

8) ① R^3 is isobutyl, and
 R^4 is hydroxyoctyl, or
② R^3 is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-
propyl, and
 R^4 is isopropyl,
 R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is trimethylene and
B is amino;

C1
CONT

9) R^3 is amino-substituted methyl-substituted phenyl-lower
(C_1-C_4) alkyl, acetimidoyliminopentyl,
isopropyliminopentyl, (pyridin-4-ylmethylimino)pentyl
or isopropyliminomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is hydrogen;

10) R^3 is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-
methylbenzyl, methanesulfonamidomethylbenzyl or
phthalimidomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is ethylene,
A is imino and
B is amidino;

11) R^3 is phenylpropyl,
 R^5 is methyl,
① when R^4 is isobutyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is methylene,
 A is imino and
 B is amidino;
② when R^4 is naphthylmethyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is ethylene,
 A is imino and
 B is amidino; or
③ when R^4 is isopropyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is trimethylene, and
 B is amino;

12) R^3 is aminomethylphenylpropyl,
 R^4 is (C_3-C_9) alkyl,
 R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is (C_1-C_6) alkylene, and
 B is amino;

13) R^3 is aminophenylpropyl,
 R^4 is isobutyl,
 R^5 is dimethylaminoethyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is trimethylene, and
 A is imino and
 B is acetimidoyl;

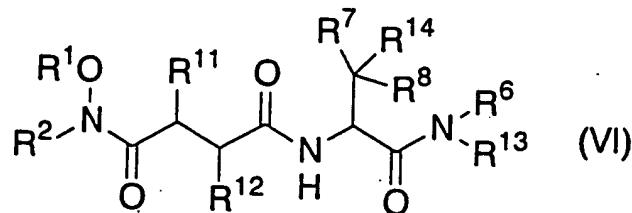
14) R³ is guanidinophenylpropyl, guanidinomethylphenylpropyl
or aminomethylbenzyl,
R⁴ is isobutyl,
R⁵ is methyl, and
R⁹ is -X-Y, and Y is -B,
wherein X is ethylene, and
B is amino; or

15) R³ is aminomethylbenzyl,
R⁴ is isobutyl,
R⁵ is methyl, and
R⁹ is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methylene, and
B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

Kindly add the following new claims.

19. A compound having the following formula (VI):



wherein R¹ is unsubstituted or optionally substituted aralkyl, and R², R⁶, R⁷ and R⁸ are each hydrogen,

1) R¹¹ is (C₁-C₉) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -A-B, -A-E or -E,

wherein X, Y, A, B and E are selected from the following combinations:

① X is (C₁-C₆) alkylene, Y is -A-E, A is imino and E is protected amidino;

② X is (C₁-C₆) alkylene, Y is -E and E is protected amino;

③ X is phenylene, Y is -A-B, A is lower (C₁-C₄) alkylene-imino and B is lower (C₁-C₄) acylimidoyl;

④ X is (C₁-C₆) alkylene, Y is -A-B, A is imino and B is selected from the group consisting of lower (C₁-C₄) acylimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -E, E is cyano; and

⑥ X is phenylene, Y is -A-B, A is imino and B is tetra-lower (C₁-C₄) alkyl bis(phosphono)methyl;

2) R¹¹ is (C₁-C₉) alkyl,

*C2
cont.*
R¹² is (C₃-C₉) alkyl,

R¹³ is hydroxy-substituted (C₁-C₆) alkyl or a nitrogen-containing heterocyclic radical,

R¹⁴ is -X-Y, and Y is -A-B,

wherein X is (C₁-C₆) alkylene,

A is imino and

B is lower (C₁-C₄) acylimidoyl;

3) R¹¹ is (C₁-C₉) alkyl,

R¹² is (C₃-C₉) alkyl,

① R¹³ is (C₃-C₇) cycloalkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is (C₁-C₆) alkylene and

E is protected amino; or

② R¹³ is a nitrogen-containing heterocyclic radical,

R¹⁴ is -X-Y, and Y is -A-B,

wherein X is phenylene,

A is lower (C₁-C₄) alkylene-imino and

B is lower (C₁-C₄) acylimidoyl;

4) R¹¹ is (C₁-C₉) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is protected carboxy-substituted lower (C₁-C₄) alkyl, di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl or protected hydroxy-substituted lower (C₁-C₄) alkyl, and

R¹⁴ is -X-Y,

wherein X is phenylene and

Y is -A-B or -A-E,

wherein A, B and E are selected from the following combinations:

① Y is -A-B, A is lower (C₁-C₄) alkylene-imino and B is lower (C₁-C₄) acylimidoyl; and

*C2
COOK*

② Y is -A-E, A is lower (C_1-C_4) alkylene and E is cyano;

5) R^{11} is (C_1-C_9) alkyl,
 R^{12} is (C_3-C_9) alkyl,
① when R^{13} is hydroxy-substituted (C_1-C_6) alkyl,
 R^{14} is -X-Y,
wherein X is phenylene and
Y is -A-B,
wherein
A is lower (C_1-C_4) alkylene-imino and
B is lower (C_1-C_4) acylimidoyl; or
② when R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is -X-Y,
wherein X is (C_1-C_6) alkylene and
Y is -A-E,
wherein A is imino and
E is protected amidino;

6) R^{11} is phenyl-lower (C_1-C_4) alkyl,
 R^{12} is (C_3-C_9) alkyl,
① R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is phenylene and
E is cyano; or
② R^{13} is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl, protected hydroxy-substituted lower (C_1-C_4) alkyl or lower (C_1-C_4) alkyl,
 R^{14} is -X-Y, and Y is -A-B,
wherein X is (C_1-C_6) alkylene and
A is imino and
B is lower (C_1-C_4) acylimidoyl;

7) R^{11} is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, protected carboxy-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) alkoxy carbonyl-substituted phenyl-lower (C_1-C_4) alkyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl, or hydroxy-substituted (C_1-C_8) alkyl;

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene and

E is protected amino;

8) ① R^{11} is (C_1-C_9) alkyl, and

R^{12} is protected hydroxy-substituted (C_1-C_8) alkyl, or

② R^{11} is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, and

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene and

E is protected amino;

9) R^{11} is cyano-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) acylimido limino-substituted (C_1-C_6) alkyl, lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, or isopropyliminomethylbenzyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is hydrogen;

10) R^{11} is aryloxy-substituted lower (C_1-C_4) alkyl, (C_3-C_7) cycloalkyl-substituted lower (C_1-C_4) alkyl, arylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, alkylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,
 R^{12} is (C_3-C_9) alkyl,
 R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is (C_1-C_6) alkylene,
A is imino and
E is protected amidino;

*C₂
CD₂X*

11) R^{11} is phenyl-lower (C_1-C_4) alkyl,
 R^{13} is lower (C_1-C_4) alkyl,
① when R^{12} is (C_3-C_9) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is (C_1-C_6) alkylene,
A is imino and
E is protected amidino;
② when R^{12} is unsubstituted or optionally substituted aryl-lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is (C_1-C_6) alkylene,
A is imino and
E is protected amidino; or
③ when R^{12} is (C_3-C_9) alkyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is (C_1-C_6) alkylene, and
E is protected amino;

12) R^{11} is protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene, and

E is protected amino;

13) R^{11} is protected amino-substituted phenyl-lower (C_1-C_4) alkyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-A-B$,

wherein X is (C_1-C_6) alkylene, and

A is imino and

B is lower (C_1-C_4) acylimidoyl;

14) R^{11} is protected guanidino-substituted phenyl-lower (C_1-C_4) alkyl, protected guanidino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene, and

E is protected amino; or

15) R^{11} is protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is phenylene,

E is cyano;

or a salt thereof.

20. The compound according to claim 19, wherein R¹ is benzyl, and R², R⁶, R⁷ and R⁸ are each hydrogen,

1) R¹¹ is methyl,

R¹² is isobutyl,

R¹³ is methyl,

R¹⁴ is -X-Y and Y is -A-B, -A-E, or -E

wherein X, Y, A, B and E are selected from the following combinations:

① X is methylene or ethylene, Y is -A-E, A is imino and E is protected amidino;

② X is ethylene or trimethylene, Y is -E and E is protected amino;

③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -E, E is cyano; and

⑥ X is phenylene, Y is -A-B, A is imino and B is tetra-ethyl bis(phosphono)methyl, or tetra-methyl bis(phosphono)methyl;

2) R¹¹ is methyl,

R¹² is isobutyl,

R¹³ is 2-hydroxy-1-methylethyl or piperidyl,

R¹⁴ is -X-Y, and Y is -A-B,

wherein X is trimethylene,

A is imino and
B is acetimidoyl;

3) R^{11} is methyl,
 R^{12} is isobutyl,
① R^{13} is cyclopropyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is ethylene and
E is protected amino; or
② R^{13} is morpholino,
 R^{14} is $-X-Y$, and Y is $-A-B$,
wherein X is phenylene,
A is methyleneimino and
B is acetimidoyl;

4) R^{11} and R^{12} are each isobutyl,
 R^{13} is protected 2-carboxyethyl, 2-dimethylaminoethyl or protected
2-hydroxyethyl,
 R^{14} is $-X-Y$,
wherein X is phenylene and
Y is $-A-B$ or $-E$,
wherein A, B and E are selected from the following
combinations:
① Y is $-A-B$, A is methyleneimino, and
B is acetimidoyl; and
② Y is $-E$, and
E is cyano;

5) R^{11} and R^{12} are each isobutyl,
① when R^{13} is 2-hydroxy-1,1-dimethylethyl,
 R^{14} is $-X-Y$,
wherein X is phenylene and

Y is -A-B,
wherein A is methyleneimino and
B is acetimidoyl;

② when R¹³ is methyl,
R¹⁴ is -X-Y,
wherein X is methylene or ethylene and
Y is -A-E,
wherein A is imino and
E is protected amidino;

*C2
CONT*

6) R¹¹ is phenylpropyl,
R¹² is isobutyl,
① R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene and
E is cyano; or
② R¹³ is 2-dimethylaminoethyl, protected 2-hydroxyethyl or methyl,
R¹⁴ is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

7) R¹¹ is morpholinopropyl, protected carboxyphenylpropyl, protected
aminomethylphenylpropyl, protected hydroxyphenylpropyl,
methoxycarbonylphenylpropyl, piperidinylpropyl,
iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or
protected hydroxyoctyl,
R¹² is isobutyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is trimethylene and

E is protected amino;

8) ① R^{11} is isobutyl, and
 R^{12} is protected hydroxyoctyl, or
② R^{11} is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-
propyl, and
 R^{12} is isopropyl,
 R^{13} is methyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is trimethylene and
E is protected amino;

9) R^{11} is protected aminomethylphenylpropyl, protected
aminomethylbenzyl or protected aminopentyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is hydrogen;

10) R^{11} is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-
methylbenzyl, methanesulfonamidomethylbenzyl,
phthalimidomethylbenzyl, cyano-phenylpropyl or cyano-benzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is -X-Y, and Y is -A-E,
wherein X is ethylene,
A is imino and
E is protected amidino;

11) R^{11} is phenylpropyl,
 R^{13} is methyl,
① when R^{12} is isobutyl,
 R^{14} is -X-Y, and Y is -A-E,

*C9
CDX*

wherein X is methylene,
A is imino and
E is protected amidino;

② when R¹² is naphthylmethyl,
R¹⁴ is -X-Y, and Y is -A-E,
wherein X is ethylene,
A is imino and
E is protected amidino; or

③ when R¹² is isopropyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is trimethylene, and
E is protected amino;

C2

CDX¹ 12) R¹¹ is protected aminomethylphenylpropyl,
① R¹² is isobutyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is methylene or ethylene, and
E is protected amino; or

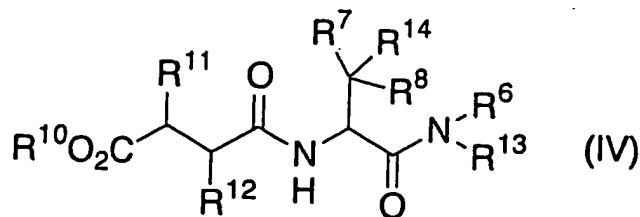
② R¹² is isopropyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is ethylene, and
E is protected amino;

13) R¹¹ is protected aminophenylpropyl,
R¹² is isobutyl,
R¹³ is dimethylaminoethyl,
R¹⁴ is -X-Y, and Y is -A-B,
wherein X is trimethylene, and
A is imino and
B is acetimidoyl;

14) R^{11} is protected guanidinophenylpropyl, protected
guanidinomethylphenylpropyl or protected aminomethylbenzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is ethylene, and
 E is protected amino; or

15) R¹¹ is protected aminomethylbenzyl,
R¹² is isobutyl,
R¹³ is methyl, and
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene,
E is cyano.

21. A compound having the following formula (IV):



wherein R^{10} is (C_1-C_6) alkyl, and R^6 , R^7 and R^8 are each hydrogen,

1) R^{11} is (C_1-C_9) alkyl,

R¹² is (C₃-C₉) alkyl,

R^{13} is (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-A-B$, $-A-E$ or $-E$,

wherein X, Y, A, B and E are selected from the following

combinations:

① X is (C₁-C₆) alkylene, Y is -A-E, A is imino and E is protected amidino;

② X is (C₁-C₆) alkylene, Y is -E and E is protected amino;

③ X is phenylene, Y is -E, and E is cyano; and

④ X is phenylene, Y is -A-B, A is imino and B is tetra-lower (C₁-C₄) alkyl bis(phosphono)methyl;

2) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is hydroxy-substituted (C₁-C₆) alkyl or a nitrogen-containing heterocyclic radical,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene,
E is protected amino;

3) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
① R¹³ is (C₃-C₇) cycloalkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene and
E is protected amino; or
② R¹³ is a nitrogen-containing heterocyclic radical,
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene and E is cyano;

4) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is protected carboxy-substituted lower (C₁-C₄) alkyl, di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl or protected hydroxy-substituted lower (C₁-C₄) alkyl, and
R¹⁴ is -X-Y,

wherein X is phenylene and
Y is -E, and E is cyano;

5) R^{11} is (C_1-C_9) alkyl,
 R^{12} is (C_3-C_9) alkyl,

① when R^{13} is protected hydroxy-substituted lower (C_1-C_4) alkyl,
 R^{14} is -X-Y,
wherein X is phenylene and

Y is -E, and E is cyano;

② when R^{13} is lower (C_1-C_4) alkyl,

R^{14} is -X-Y,
wherein X is (C_1-C_6) alkylene and
Y is -A-E,

wherein A is imino and

E is protected amidino;

6) R^{11} is phenyl-lower (C_1-C_4) alkyl,

R^{12} is (C_3-C_9) alkyl,

① R^{13} is lower (C_1-C_4) alkyl,

R^{14} is -X-Y, and Y is -E,
wherein X is phenylene and
E is cyano; or

② R^{13} is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl, protected hydroxy-substituted lower (C_1-C_4) alkyl or lower (C_1-C_4) alkyl,

R^{14} is -X-Y, and Y is -E,
wherein X is (C_1-C_6) alkylene, and E is protected amino;

7) R^{11} is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, protected carboxy-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted phenyl-lower (C_1-C_4) alkyl,

lower (C_1-C_4) alkoxy carbonyl-substituted phenyl-lower (C_1-C_4) alkyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl, or hydroxy-substituted (C_1-C_8) alkyl;

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene and

E is protected amino;

8) ① R^{11} is (C_1-C_9) alkyl, and

R^{12} is protected hydroxy-substituted (C_1-C_8) alkyl, or

② R^{11} is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, and

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene and

E is protected amino;

9) R^{11} is protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or protected amino-substituted (C_1-C_6) alkyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is hydrogen;

10) R^{11} is aryloxy-substituted lower (C_1-C_4) alkyl, (C_3-C_7) cycloalkyl-substituted lower (C_1-C_4) alkyl, arylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, alkylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or protected amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

CDW

R^{12} is (C_3-C_9) alkyl,
 R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is (C_1-C_6) alkylene,
 A is imino and
 E is protected amidino;

11) R^{11} is phenyl-lower (C_1-C_4) alkyl,

R^{13} is lower (C_1-C_4) alkyl,
① when R^{12} is (C_3-C_9) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is (C_1-C_6) alkylene,
 A is imino and
 E is protected amidino;

② when R^{12} is unsubstituted or optionally substituted
aryl-lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is (C_1-C_6) alkylene,
 A is imino and
 E is protected amidino; or

③ when R^{12} is (C_3-C_9) alkyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is (C_1-C_6) alkylene, and
 E is protected amino;

12) R^{11} is protected amino-substituted lower (C_1-C_4) alkyl-substituted
phenyl-lower (C_1-C_4) alkyl,
 R^{12} is (C_3-C_9) alkyl,
 R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is (C_1-C_6) alkylene, and
 E is protected amino;

13) R^{11} is protected amino-substituted phenyl-lower (C_1-C_4) alkyl,
 R^{12} is (C_3-C_9) alkyl,
 R^{13} is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is (C_1-C_6) alkylene, and
 E is protected amino;

14) R^{11} is protected guanidino-substituted phenyl-lower (C_1-C_4) alkyl,
protected guanidino-substituted lower (C_1-C_4) alkyl-substituted
phenyl-lower (C_1-C_4) alkyl, or protected amino-substituted lower
(C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,
 R^{12} is (C_3-C_9) alkyl,
 R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is (C_1-C_6) alkylene, and
 E is protected amino; or

15) R^{11} is protected amino-substituted lower (C_1-C_4) alkyl-substituted
phenyl-lower (C_1-C_4) alkyl,
 R^{12} is (C_3-C_9) alkyl,
 R^{13} is lower (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is phenylene, and
 E is cyano;

or a salt thereof.

22. The compound according to claim 21, wherein R^{10} is tert-butyl,
and R^6 , R^7 and R^8 are each hydrogen,

1) R^{11} is methyl,
 R^{12} is isobutyl,
 R^{13} is methyl,
 R^{14} is $-X-Y$ and Y is $-A-B$, $-A-E$ or $-E$
wherein X, Y, A, B and E are selected from the following
combinations:

- ① X is methylene or ethylene, Y is $-A-E$, A is imino and
E is protected amidino;
- ② X is ethylene or trimethylene, Y is $-E$ and E is protected
amino;
- ③ X is phenylene, Y is $-E$, and E is cyano; and
- ④ X is phenylene, Y is $-A-B$, A is imino, and B is
tetra-ethyl bis(phosphono)methyl;

*C2
CONT.*

2) R^{11} is methyl,
 R^{12} is isobutyl,
 R^{13} is 2-hydroxy-1-methylethyl or piperidyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is trimethylene,
E is protected amino;

3) R^{11} is methyl,
 R^{12} is isobutyl,
① R^{13} is cyclopropyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is ethylene and
E is protected amino;
② R^{13} is morpholino,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is phenylene, and
E is cyano;

4) R^{11} and R^{12} are each isobutyl,
 R^{13} is protected 2-carboxyethyl, 2-dimethylaminoethyl or protected
2-hydroxyethyl,
 R^{14} is $-X-Y$,
wherein X is phenylene and
Y is $-E$, and E is cyano;

5) R^{11} and R^{12} are each isobutyl,
① when R^{13} is 2-hydroxy-1,1-dimethylethyl,
 R^{14} is $-X-Y$,
wherein X is phenylene and
Y is $-E$, wherein E is cyano;

② when R^{13} is methyl,
 R^{14} is $-X-Y$,
wherein X is methylene or ethylene, and
Y is $-A-E$,
wherein A is imino and
E is protected amidino;

6) R^{11} is phenylpropyl,
 R^{12} is isobutyl,
① R^{13} is methyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is phenylene and
E is cyano; or
② R^{13} is 2-dimethylaminoethyl, protected 2-hydroxyethyl or methyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is trimethylene, and
E is protected amino;

7) R^{11} is morpholinopropyl, protected carboxyphenylpropyl,

protected aminomethylphenylpropyl, protected hydroxyphenylpropyl, methoxycarbonylphenylpropyl, piperidinylpropyl, iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or protected hydroxyoctyl,
 R^{12} is isobutyl,
 R^{13} is methyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is trimethylene and
 E is protected amino;

8) ① R^{11} is isobutyl, and
 R^{12} is protected hydroxyoctyl, or
② R^{11} is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-propyl, and
 R^{12} is isopropyl,
 R^{13} is methyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is trimethylene and
 E is protected amino;

9) R^{11} is protected aminomethylphenylpropyl, protected aminomethylbenzyl, or protected aminopentyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is hydrogen;

10) R^{11} is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-methylbenzyl, methanesulfonamidomethylbenzyl or phthalimidomethylbenzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is $-X-Y$, and Y is $-A-E$,
wherein X is ethylene,

C2
COO⁴.

A is imino, and
E is protected amidino;

11) R¹¹ is phenylpropyl,
R¹³ is methyl,
① when R¹² is isobutyl,
R¹⁴ is -X-Y, and Y is -A-E,
wherein X is methylene,
A is imino, and
E is protected amidino;

② when R¹² is naphthylmethyl,
R¹⁴ is -X-Y, and Y is -A-E,
wherein X is ethylene,
A is imino, and
E is protected amidino; or

③ when R¹² is isopropyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is trimethylene, and
E is protected amino;

12) R¹¹ is protected aminomethylphenylpropyl,
① R¹² is isobutyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is methylene or ethylene, and
E is protected amino;

② R¹² is isopropyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is ethylene, and
E is protected amino;

C2
COOEt

13) R^{11} is protected aminophenylpropyl,
 R^{12} is isobutyl,
 R^{13} is dimethylaminoethyl,
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is trimethylene, and
 E is protected amino;

14) R^{11} is protected guanidinophenylpropyl, protected
guanidinomethylphenylpropyl or protected aminomethylbenzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is ethylene, and
 E is protected amino; or

*C2
COOH*

15) R^{11} is protected aminomethylbenzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is $-X-Y$, and Y is $-E$,
wherein X is phenylene, and
 E is cyano.
